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SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: RICHARD SCHNIZER Examiner #: 76557 Date: 2/23/04
Art Unit: 1635 Phone Number ~~30~~ 2-0762 Serial Number: 09/647678
Mail Box and Bldg/Room Location: 2C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: NEW AGENTS FOR TRANSFERRING NUCLEIC ACIDS

Inventors (please provide full names): GERARDO BYK, DANIEL SCHERMAN, MARC FREDERIC, HANS HOELAND

Earliest Priority Filing Date: 4/2/98

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

Please search claim 1 attached.

C. Chan
Rush

PLEASE DELIVER TO

P. SCHULWITZ

****

STAFF USE ONLY	Type of Search		Vendors and cost where applicable
Searcher:	NA Sequence (#)		STN
Searcher Phone #:	AA Sequence (#)		Dialog
Searcher Location:	Structure (#)		Questel/Orbit
Date Searcher Picked Up:	Bibliographic		Dr.Link
Date Completed: 2/25	Litigation		Lexis/Nexis
Searcher Prep & Review Time:	Fulltext		Sequence Systems
Clerical Prep Time:	Patent Family		WWW/Internet
Online Time:	Other		Other (specify)

PTO-1590 (8-01)

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number 114916

TO: Richard Schnizer **Location: REM-2C18** **Art Unit: 1635** **Wednesday, February 25, 2004** **Case Serial Number: 09/647678**	**From: Paul Schulwitz** **Location: Biotech-Chem Library** **REM-1A65** **Phone: (571)272-2527** **paul.schulwitz@uspto.gov**

Search Notes

Examiner Schnizer,

See attached results.

If you have any questions about this search feel free to contact me at any time.

Thank you for using STIC search services!

Paul Schulwitz
Technical Information Specialist
STIC Biotech/Chem Library
(571)272-2527

```
=> d que
L1      1968213 SEA FILE=REGISTRY ABB=ON  PLU=ON  (NC4 OR NCNC2 OR NC5 OR
                NC2NC2 OR NC6 OR NC2NC3 OR NCNC4 OR NC7 OR NCNC5 OR N2C3 OR
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                OR NC3NC5)/ES AND NC=1 AND N>2 AND O/ELS NOT (IDS OR PMS)/CI
L2      1268371 SEA FILE=REGISTRY ABB=ON  PLU=ON  (NCNC2 OR N2CNC OR NCNC3 OR
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L4              STR
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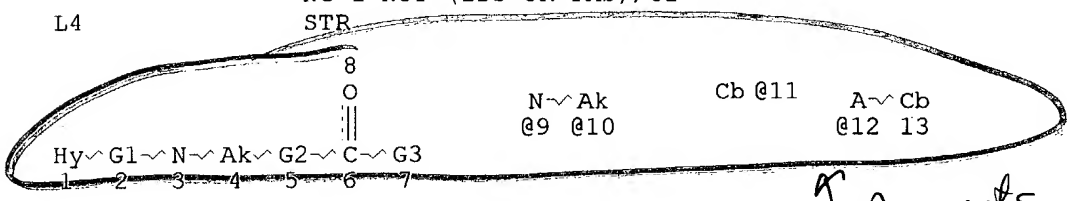
All ring possibilities when W=Carbon

Ring possibilities when W=Nitrogen



R Represents "Rep-R"

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REP G2=(0-9) 9-4 10-6
VAR G3=N/11/12
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GGCAT   IS PCY  AT  11
GGCAT   IS PCY  AT  13
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M2-X9 C  M1-X3 N  AT   1
ECOUNT  IS M17 C  AT  11
ECOUNT  IS M17 C  AT  13

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L5              SCR 2086
L6              SCR 2083
L8              STR
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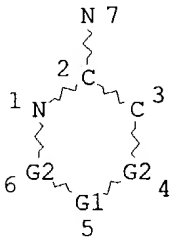
apparently No 1 cares except inventors



W=Carbon Ring Structure

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VAR G1=C/N
REP G2=(0-3) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE
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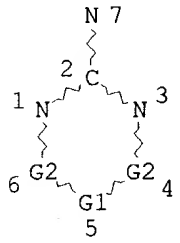
L11 STR



w = Nitrogen Ring Structure

VAR G1=C/N
REP G2=(0-3) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE
L13 247 SEA FILE=REGISTRY SUB=L2 SSS FUL L11 AND L4
L14 347 SEA FILE=REGISTRY ABB=ON PLU=ON L10 OR L13
L20 268 SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND NR<5
L21 237 SEA FILE=REGISTRY ABB=ON PLU=ON L20 NOT OC4/ESS
L22 51 SEA FILE=REGISTRY ABB=ON PLU=ON L21 NOT C6/ESS
L27 50 SEA FILE=REGISTRY ABB=ON PLU=ON L22 NOT 51798-45-9
L28 28 SEA FILE=HCAPLUS ABB=ON PLU=ON L27
L29 49 SEA FILE=REGISTRY ABB=ON PLU=ON L28 NOT 22838-63-7
L31 40 SEA FILE=REGISTRY ABB=ON PLU=ON L29 NOT (59472-95-6 OR 59452-67-4 OR 83944-46-1 OR 83917-37-7 OR 83879-10-1 OR 83879-09-8 OR 83874-02-6 OR 83873-67-0 OR 99382-11-3)
L32 21 SEA FILE=HCAPLUS ABB=ON PLU=ON L31

=> d ibib ab hitstr 1-21

L32 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:76589 HCAPLUS
DOCUMENT NUMBER: 138:131139
TITLE: Cell-cycle drugs for the prevention and treatment of Alzheimer's disease
INVENTOR(S): Nagy, Zsuzsanna
PATENT ASSIGNEE(S): Isis Innovation Limited, UK
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007925	A1	20030130	WO 2002-GB3327	20020719

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003032673	A1	20030213	US 2002-200023	20020719

PRIORITY APPLN. INFO.: GB 2001-17645 A 20010719

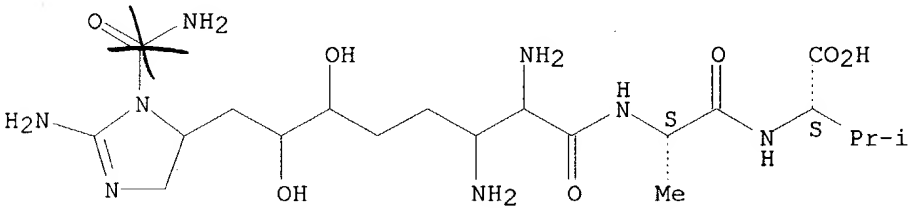
AB The invention relates to therapeutic agents for use in the prevention or treatment of Alzheimer's disease. In particular the invention relates to use of inhibitors of cell cycle re-entry and progression to the G1/S transition or inhibitors of progression of the cell cycle through the G1/S transition point in the prevention or treatment of Alzheimer's disease.

IT **188674-15-9**, NA22598
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cell-cycle drugs for prevention and treatment of Alzheimer's disease)

RN 188674-15-9 HCAPLUS

CN L-Valine, N-[2,3-diamino-8-[2-amino-1-(aminocarbonyl)-4,5-dihydro-1H-imidazol-5-yl]-2,3,4,5,8-pentadeoxyoctonoyl]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2002:736021 HCAPLUS
DOCUMENT NUMBER:	137:247930
TITLE:	Asymmetric synthesis of (S,S,R)-(-)-actinonin and its analogs
INVENTOR(S):	Bornman, William G.; Sirotnak, Francis M.; Scher, Howard; Vidal, Ephraim; Scheinberg, David; Borella, Christopher
PATENT ASSIGNEE(S):	Sloan Kettering Institute for Cancer Research, USA
SOURCE:	PCT Int. Appl., 77 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074050	A2	20020926	WO 2002-US8387	20020319
WO 2002074050	A3	20030227		
W: AZ, BB, BG, CA, CU, CZ, EE, GB, GH, HU, IL, KG, KR, LK, LU, MG, MW, NZ, RO, RU, YU, ZA, BY, KG, MD, RU, TJ, TM				
RW: BF, BJ, CI, CM, GN, ML, NR, SN, TD, TG				
US 2002198156	A1	20021226	US 2002-102593	20020319
US 6660741	B2	20031209		
EP 1372692	A2	20040102	EP 2002-725239	20020319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004019083	A1	20040129	US 2003-603953	20030625

PRIORITY APPLN. INFO.: US 2001-277116P P 20010319
US 2002-102593 A3 20020319
WO 2002-US8387 W 20020319

OTHER SOURCE(S): CASREACT 137:247930; MARPAT 137:247930

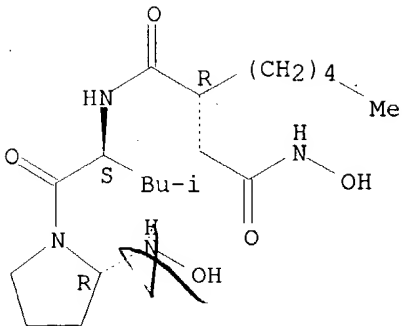
AB The analogs of (S,S,R)-(-)-actinonin I [R1 = an optionally substituted or halogenated alkyl, aryl, heteroalkyl or heteroaryl amine, a cycle or bicycle; R2 = Me, Et, n-Pr, tert-Bu, Ph, 3,4-dichlorophenyl, biphenyl, benzyl, 4-hydroxybenzyl, piperidine, N-Boc-4-piperidine, CH2-(N-Boc-4-piperidine), 4-tetrahydropyran, CH2-4-tetrahydropyran, 3-Me indolyl, 2-naphthyl, 3-pyridyl, 4-pyridyl, 3-thienyl; R3 = R2 or alkyl; R4 = alkyl; R5 = NH2, OH, NHOH, NHOMe, N(Me)OH, N(Me)OCH3, NHEt, NHCH2(2,4OMe2Ph), NHCH2(4-NO2)Ph, NHNMe2, proline, or 2-hydroxymethyl pyrrolidine, Boc = tert-butoxycarbonyl] were prepd. as antitumor agents. Thus, N4-hydroxy-N1-(1-(2-hydroxymethyl-pyrrolidine-1-carbonyl)-3-methyl-butyl)-2-pentyl-succinamide was prepd. by coupling of protected pseudopeptide composed of L-prolinol and L-leucine, with hydroxysuccinamide and O-benzylhydroxyamine hydrochloride and is effective at inhibiting cell growth.

IT **460754-52-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(asym. synthesis of analogs and derivs. of actinonin as tumor cell growth inhibitors)

RN 460754-52-3 HCAPLUS

CN Butanediamide, N4-hydroxy-N1-[(1S)-1-[[(2R)-2-(hydroxyamino)-1-pyrrolidinyl]carbonyl]-3-methylbutyl]-2-pentyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

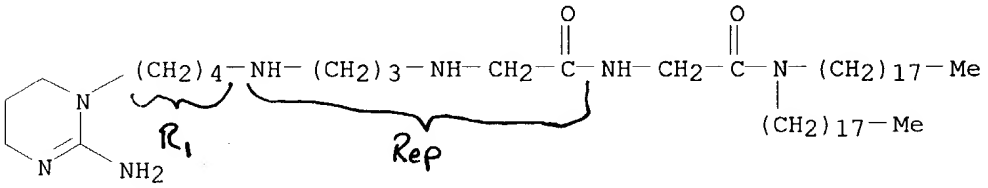


L32 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:100201 HCAPLUS
DOCUMENT NUMBER: 132:264989
TITLE: Introduction of cyclic guanidines into cationic lipids for non-viral gene delivery
AUTHOR(S): Frederic, Marc; Scherman, Daniel; Byk, Gerardo → inventors
CORPORATE SOURCE: UMR-7001 Rhone-Poulenc Rorer Gencell/CNRS/ENSCP 13, Vitry sur Seine, 94403, Fr.
SOURCE: Tetrahedron Letters (2000), 41(5), 675-679
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In order to study the impact of chem. modifications of lipopolyamines on their gene delivery properties, cyclic guanidines were introduced into the polyamine moiety. These lipopolyamino-cycloguanidines can be easily obtained by reacting polyamines with 2-methylmercapto-2-imidazolinium iodide or 2-methylmercaptotetrahydropyrimidinium iodide. These lipopolyamino-cycloguanidines constitute a novel family of cationic lipids.

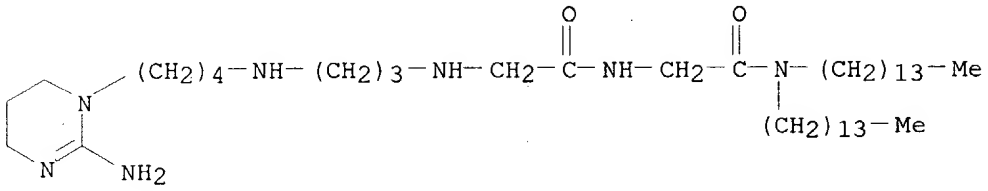
IT **245738-75-4P 245738-76-5P 245738-77-6P**
245738-78-7P 245738-79-8P 245738-80-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(introduction of cyclic guanidines into cationic lipids for non-viral gene delivery)

RN 245738-75-4 HCAPLUS
CN Glycinamide, N-[3-[[4-(2-amino-5,6-dihydro-1(4H)-pyrimidinyl)butyl]amino]propyl]glycyl-N,N-dioctadecyl- (9CI) (CA INDEX NAME)



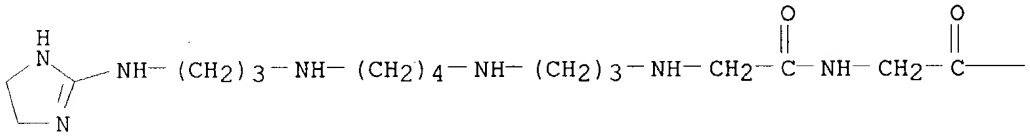
RN 245738-76-5 HCAPLUS
CN Glycinamide, N-[3-[[4-(2-amino-5,6-dihydro-1(4H)-

pyrimidinyl)butyl]amino]propyl]glycyl-N,N-ditetradecyl- (9CI) (CA INDEX NAME)

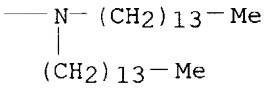


RN 245738-77-6 HCAPLUS
CN Glycinamide, N-[3-[[4-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]butyl]amino]propyl]glycyl-N-tetradecyl-N-tetradecyl- (9CI) (CA INDEX NAME)

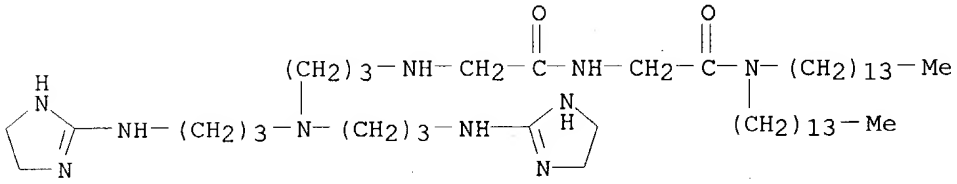
PAGE 1-A



PAGE 1-B

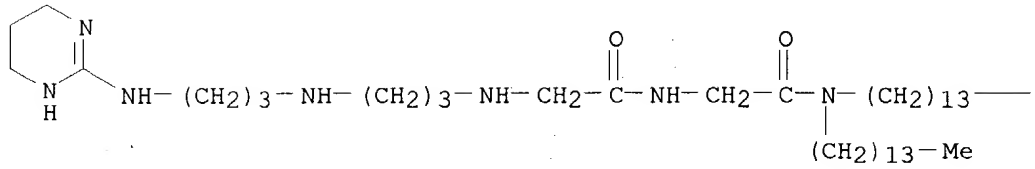


RN 245738-78-7 HCAPLUS
CN Glycinamide, N-[3-[bis[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]propyl]glycyl-N,N-ditetradecyl- (9CI) (CA INDEX NAME)



RN 245738-79-8 HCAPLUS
CN Glycinamide, N-[3-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]amino]propyl]glycyl-N-tetradecyl-N-tetradecyl- (9CI) (CA INDEX NAME)

PAGE 1-A

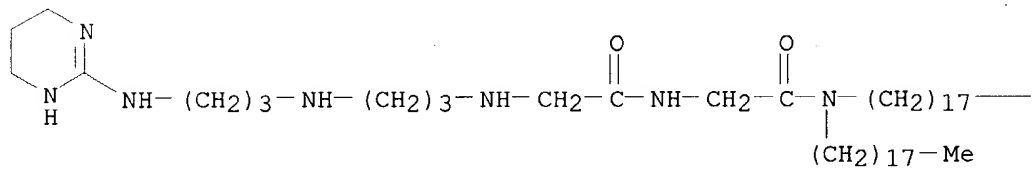


PAGE 1-B

—Me

RN 245738-80-1 HCAPLUS
CN Glycinamide, N-[3-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]amino]propyl]glycyl-N-octadecyl-N-octadecyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—Me

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:672798 HCAPLUS
DOCUMENT NUMBER: 131:299691
TITLE: Preparation of heterocyclic glycyl .beta.-alanine derivatives as vitronectin antagonists
INVENTOR(S): Chandrakumar, Nizal Samuel; Desai, Bipinchandra Nanubhai; Devadas, Balekudru; Huff, Renee; Khanna, Ish K.; Rao, Shashidhar N.; Rico, Joseph G.; Rogers, Thomas E.; Ruminski, Peter G.; Russell, Mark Andrew; Yu, Yi; Gasiecki, Alan Frank; Malecha, James W.; Miyashiro, Julie M.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9952896	A1	19991021	WO 1999-US4297	19990409
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6689754	B1	20040210	US 1999-289140	19990408
CA 2326665	AA	19991021	CA 1999-2326665	19990409
AU 9934499	A1	19991101	AU 1999-34499	19990409
AU 765294	B2	20030911		
EP 1070060	A1	20010124	EP 1999-916119	19990409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9910119	A	20011009	BR 1999-10119	19990409
JP 2002511462	T2	20020416	JP 2000-543454	19990409
RU 2215746	C2	20031110	RU 2000-128033	19990409
NO 2000005084	A	20001127	NO 2000-5084	20001009

PRIORITY APPLN. INFO.: US 1998-81394P P 19980410
WO 1999-US4297 W 19990409

OTHER SOURCE(S): MARPAT 131:299691

AB Tile compds. A(CY3Z3)t-Het-CO-V-(CYZ)n-CONR11CHR1(CH2)pCOR [Het = (un)substituted 5-8 membered monocyclic heterocyclic ring contg. 1-4 heteroatoms selected from O, N, or S, optionally unsatd. and linked to (CY3Z3)t and CO at the 1- and 3-positions; A = NR5C(:Y1)NR7R8, NR5C(:NR7)Y2, or N:C(NR2R5)(NR7R8), where Y1 = NR2, O, S; R2, R7, R8 = H, alkyl, aryl, amino, etc. or R2 and R8 taken together form an (un)substituted dinitrogen heterocycle; R5 = H, alkyl, alkenyl, alkynyl, benzyl, phenethyl; and Y2 = alkyl, cycloalkyl, bicycloalkyl, aryl, etc.; V = NR6, where R6 = H, alkyl, cycloalkyl, aralkyl, aryl, monocyclic heterocyclyl or R6 together with Y forms a mono-nitrogen-contg. ring; Y, Y3, Z, Z3 = H, alkyl, aryl, cycloalkyl or Y and Z together or Y3 and Z3 together form cycloalkyl; n = 1-3; t = 0-2; p = 0-3; R = X-R3, where X = O, S, or NR4 and R3 and R4 = H, alkyl, sugars, steroids, etc.; R1 = H, alkyl, alkenyl, alkynyl, aryl, etc.] or their pharmaceutically acceptable salts were prepd. as vitronectin antagonists. Thus, 5-[(aminoiminomethyl)amino]-N-[2-[[2-carboxy-1-(3-bromo-5-chloro-2-hydroxyphenyl)ethyl]amino]-2-oxoethyl]-3-pyridinecarboxamide bis(trifluoroacetate) was prepd. and showed IC50 = 1.58 nM for inhibition of human vitronectin receptor (.alpha.v.beta.3).

IT **247100-51-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic glycyl .beta.-alanine derivs. as vitronectin

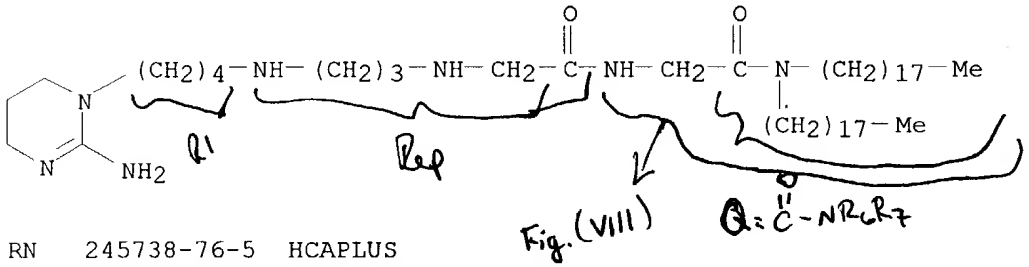
PRIORITY APPLN. INFO.: FR 1998-4121 A 19980402
US 1998-85845P P 19980518
WO 1999-FR740 W 19990330

OTHER SOURCE(S): MARPAT 131:267946

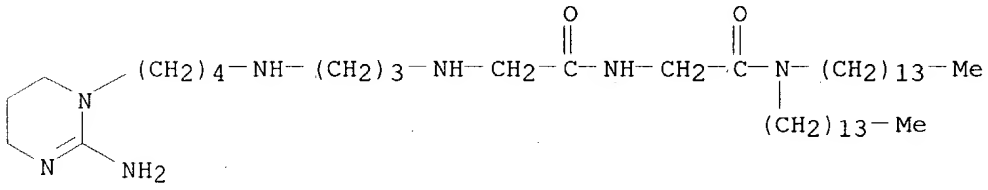
AB The invention concerns novel compds. useful as agents for transferring nucleic acids into cells. Said novel compds. are more particularly related to the lipopolyamine family, and comprise at least a cyclic amidine function. They are useful for transfecting nucleic acids of interest into different cell types, in vitro as well as in vivo or ex vivo .

IT **245738-75-4P 245738-76-5P 245738-77-6P**
245738-78-7P 245738-79-8P 245738-80-1P
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(amidine-contg. lipopolyamines, their synthesis and use in transfection)

RN 245738-75-4 HCAPLUS
CN Glycinamide, N-[3-[[4-(2-amino-5,6-dihydro-1(4H)-pyrimidinyl)butyl]amino]propyl]glycyl-N,N-dioctadecyl- (9CI) (CA INDEX NAME)

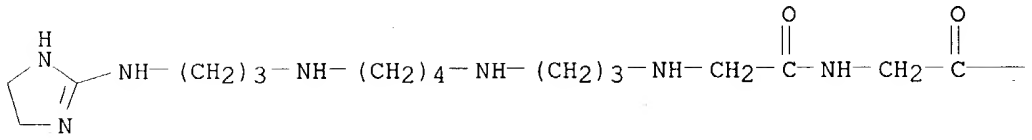


RN 245738-76-5 HCAPLUS
CN Glycinamide, N-[3-[[4-(2-amino-5,6-dihydro-1(4H)-pyrimidinyl)butyl]amino]propyl]glycyl-N,N-ditetradecyl- (9CI) (CA INDEX NAME)

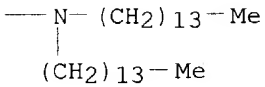


RN 245738-77-6 HCAPLUS
CN Glycinamide, N-[3-[[4-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]butyl]amino]propyl]glycyl-N-tetradecyl-N-tetradecyl- (9CI) (CA INDEX NAME)

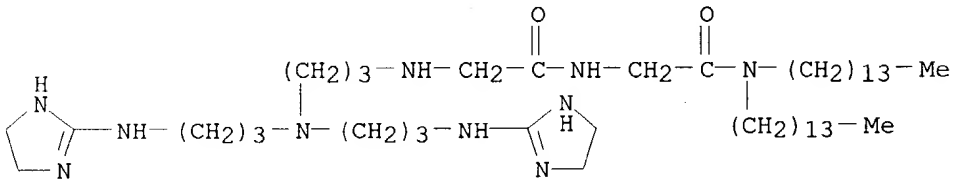
PAGE 1-A



PAGE 1-B

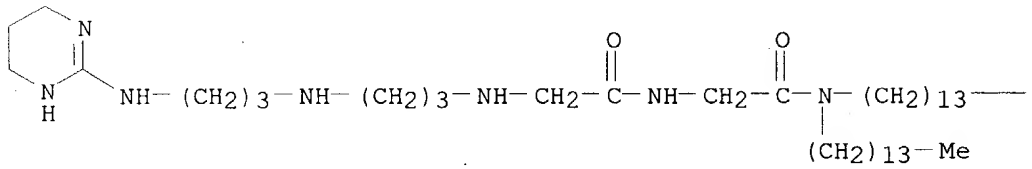


RN 245738-78-7 HCAPLUS
CN Glycinamide, N-[3-[bis[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]propyl]glycyl-N,N-ditetradecyl- (9CI) (CA INDEX NAME)



RN 245738-79-8 HCAPLUS
CN Glycinamide, N-[3-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]amino]propyl]glycyl-N-tetradecyl-N-tetradecyl- (9CI) (CA INDEX NAME)

PAGE 1-A

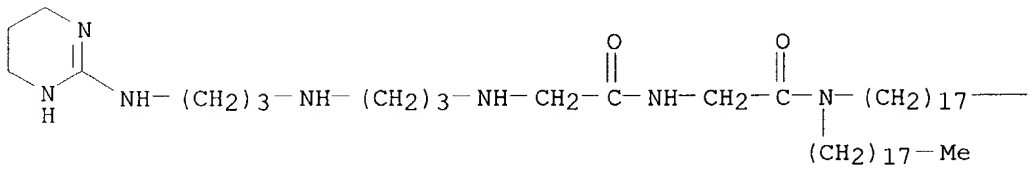


PAGE 1-B

RN 245738-80-1 HCAPLUS
CN Glycinamide, N-[3-[[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propyl]amin

o]propyl]glycyl-N-octadecyl-N-octadecyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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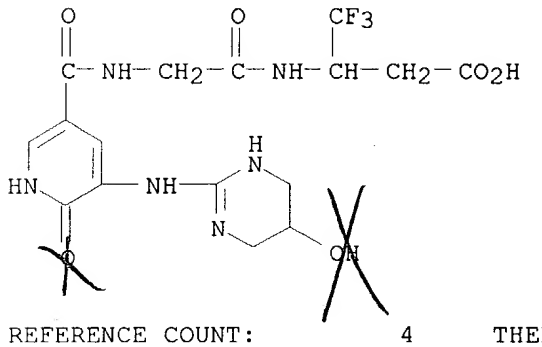
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:457919 HCAPLUS
DOCUMENT NUMBER: 131:116229
TITLE: Preparation of thiazolecarboxamides as vitronectin receptor antagonists
INVENTOR(S): Alig, Leo; Edenhofer, Albrecht; Hilpert, Kurt; Weller, Thomas
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 928790	A1	19990714	EP 1998-124670	19981224
EP 928790	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6100282	A	20000808	US 1998-218567	19981222
NZ 333590	A	20000526	NZ 1998-333590	19981224
NZ 333591	A	20000526	NZ 1998-333591	19981224
AT 233746	E	20030315	AT 1998-124670	19981224
PT 928790	T	20030731	PT 1998-98124670	19981224
NO 9806159	A	19990705	NO 1998-6159	19981228
ZA 9811925	A	20000629	ZA 1998-11925	19981229
AU 9896144	A1	19990722	AU 1998-96144	19981230
AU 720618	B2	20000608		
SG 74686	A1	20000822	SG 1998-5978	19981230
JP 2000053664	A2	20000222	JP 1999-10	19990104

antagonists)
RN 247100-51-2 HCAPLUS
CN Butanoic acid, 3-[[[[[1,6-dihydro-6-oxo-5-[(1,4,5,6-tetrahydro-5-hydroxy-2-pyrimidinyl)amino]-3-pyridinyl]carbonyl]amino]acetyl]amino]-4,4,4-trifluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:659366 HCAPLUS
DOCUMENT NUMBER: 131:267946
TITLE: Amidine-containing lipopolyamines, their synthesis and use in transfection
INVENTOR(S): Byk, Gerardo; Frederic, Marc; Hofland, Hans; Schermann, Daniel
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951581	A1	19991014	WO 1999-FR740	19990330
W: AE, AL, AT, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2777017	A1	19991008	FR 1998-4121	19980402
FR 2777017	B1	20020823		
CA 2324931	AA	19991014	CA 1999-2324931	19990330
BR 9909350	A	20001212	BR 1999-9350	19990330
EP 1068188	A1	20010117	EP 1999-910463	19990330
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
JP 2002513543	T2	20020514	JP 2000-542302	19990330
AU 759301	B2	20030410	AU 2000-34061	20000512
NO 2000004780	A	20001101	NO 2000-4780	20000925

JP 3113237	B2	20001127			
BR 9900006	A	20000411	BR 1999-6		19990104
MX 9900215	A	20000630	MX 1999-215		19990104
RU 2218337	C2	20031210	RU 1999-100277		19990105
HK 1020953	A1	20020726	HK 1999-106136		19991228
US 6320054	B1	20011120	US 2000-526033		20000315
US 2002010316	A1	20020124	US 2001-878704		20010611
US 6344562	B2	20020205			

PRIORITY APPLN. INFO.: EP 1998-100006 A 19980102
US 1998-218567 A3 19981222
US 2000-526033 A3 20000315

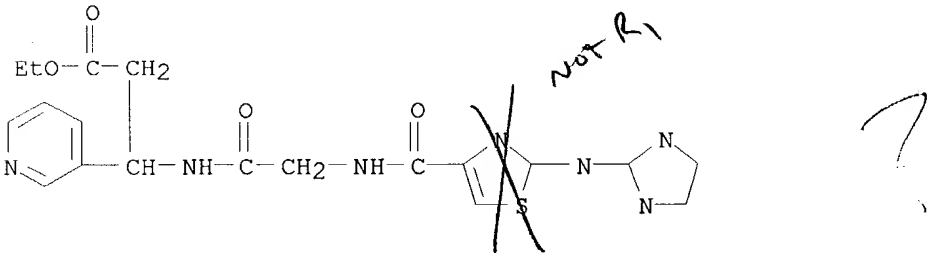
OTHER SOURCE(S): MARPAT 131:116229

AB R1(CH2)aZ(CONR9)cZ1(CH2)e(NB)fAm(NH)g(CH2)n[CH[(CO)k(NH)lR10]]i(CH2)jCO2H [I; A = CO or SO2; B,R9 = H or (cyclo)alkyl; R1 = NR6CONR5(CH2)bR4, NR5R6, NHC(:NR8)NHR7, etc.; R4 = H, (cyclo)alkyl, (hetero)aryl; R5,R6 = H, (cyclo)alkyl, aryl, etc.; R7,R8 = H, (ar)alkyl, etc.; R7R8 = atoms to complete a ring; R10 = H, OH, (ar)alkyl, carboxy(alkyl), alkoxycarbonyl, etc.; Z = (un)substituted thiazole-2,4- or -2,5-diyl; Z1 = bond or arylene; a,j = 0-2; b = 0-4; c,f,g,h,i,k,l,m = 0 or 1; e = 0-3; h = 0-5] were prepd. Thus, H2NC(:NH)NHCSNH2 was cyclocondensed with BrCH2COCO2Et and the sapond. product amidated by H2NCH2CH2CONHCH2CH2CO2Et to give, after sapon., H2NC(:NH)NHZ(CONHCH2CH2)2CO2H (Z = thiazole-2,4-diyl). Data for biol. activity of I were given.

IT **232596-91-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thiazolecarboxamides as vitronectin receptor antagonists)

RN 232596-91-7 HCAPLUS

CN .beta.-Alanine, N-[[2-[(4,5-dihydro-1H-imidazol-2-yl)amino]-4-thiazolyl]carbonyl]glycyl-3-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:370604 HCAPLUS

DOCUMENT NUMBER: 131:179415

TITLE: NA22598, a Novel Antitumor Compound, Reduces Cyclin D1 Levels, Arrests Cell Cycle at G1 Phase, and Inhibits Anchorage-Independent Growth of Human Tumor Cells

AUTHOR(S): Kawada, Manabu; Kuwahara, Atsushi; Nishikiori, Takaaki; Mizuno, Satoshi; Uehara, Yoshimasa

CORPORATE SOURCE: Department of Bioactive Molecules, National Institute of Infectious Diseases, Tokyo, 162-8640, Japan

SOURCE: Experimental Cell Research (1999), 249(2), 240-247
CODEN: ECREAL; ISSN: 0014-4827
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

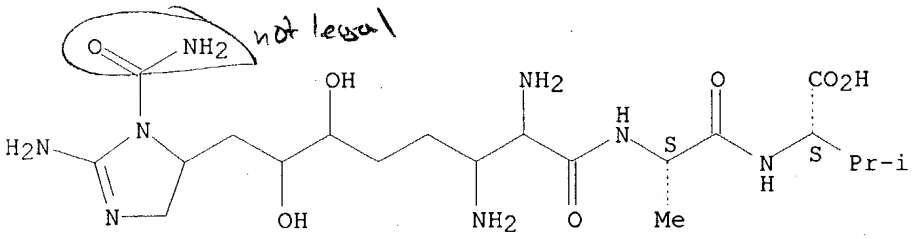
AB NA22598, a novel antitumor compd. isolated from a microbial cultured broth, inhibited the growth of human colon cancer DLD-1 cells in suspension cultures (anchorage-independent growth) severalfold more strongly than in substratum-attached monolayer cultures. It arrested the cell cycle progression at early G1 phase under both these culture conditions. Rb phosphorylation, cyclin D1 expression, and cdk2 activation in G1 progression were all inhibited by NA22598, but the amts. of cdk2 and p27 were not affected. Among these effects the inhibition of cyclin D1 expression was most prominent, and NA22598 was found to inhibit the synthesis of cyclin D1 without affecting mRNA expression or protein degrdn. P27 binding to cdk2 was more markedly increased in suspension cultures than in attached cultures by NA22598, but the compd. had no effect on total p27. Apparently, the decrease of cyclin D1 induced redistribution of p27 from the cyclin D1/cdk4 to the cyclin E/cdk2 complexes during G1 phase in the suspension cultures. Because p27 is upregulated during suspension culture, a greater amt. of it was assocd. with cyclin E/cdk2, thus producing greater growth inhibition. An agent, like NA22598, which induces the downregulation of cyclin D1 might offer a new anticancer strategy. (c) 1999 Academic Press.

IT **188674-15-9**, NA 22598
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor NA22598 reduces cyclin D1 levels, arrests cell cycle at G1 phase, and inhibits anchorage-independent growth of human tumor cells)

RN 188674-15-9 HCAPLUS

CN L-Valine, N-[2,3-diamino-8-[2-amino-1-(aminocarbonyl)-4,5-dihydro-1H-imidazol-5-yl]-2,3,4,5,8-pentadeoxyoctonoyl]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:379115 HCAPLUS
DOCUMENT NUMBER: 129:81526
TITLE: Preparation of cationic lipids as materials for liposomes for gene transfer
INVENTOR(S): Belloni, Paula Nanette; Hirshfeld, Donald Roy; Rink, John Otto; Nester, John Joseph; Peltz, Gary Allen

PATENT ASSIGNEE(S): F. Hoffmann-la Roche A.-G., Switz.
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10152461	A2	19980609	JP 1997-285925	19971020
EP 846680	A1	19980610	EP 1997-117934	19971016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6034137	A	20000307	US 1997-954428	19971020
CN 1180697	A	19980506	CN 1997-121514	19971021
CN 1068585	B	20010718		
BR 9705117	A	19980915	BR 1997-5117	19971022

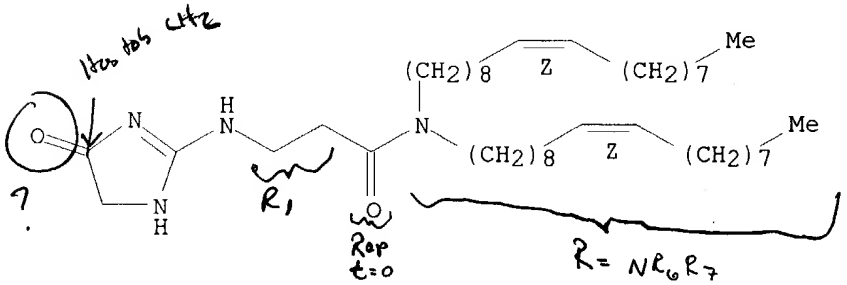
PRIORITY APPLN. INFO.: US 1996-29581P P 19961022
US 1997-49922P P 19970618
OTHER SOURCE(S): MARPAT 129:81526

AB The title compds. R1R2NC(O)AX [R1, R2 = C10 - C26 hydrocarbyl; A = hydrocarbylene (further details on said hydrocarbylene are given); X = NHC(:NR3)NHR4, etc.; R3, R4 = hydrocarbyl, etc.; a proviso is given] are prepd. In an in vivo gene transfer test, the transfection efficiency obtained with 2-guanidino-N,N-dioctadeca-9-enylpropionamide was greater than that achieved with Dotma.

IT **209397-02-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cationic lipids as materials for liposomes)

RN 209397-02-4 HCAPLUS
CN Propanamide, 3-[(4,5-dihydro-4-oxo-1H-imidazol-2-yl)amino]-N,N-di-(9Z)-9-octadecenyl- (9CI) (CA INDEX NAME)

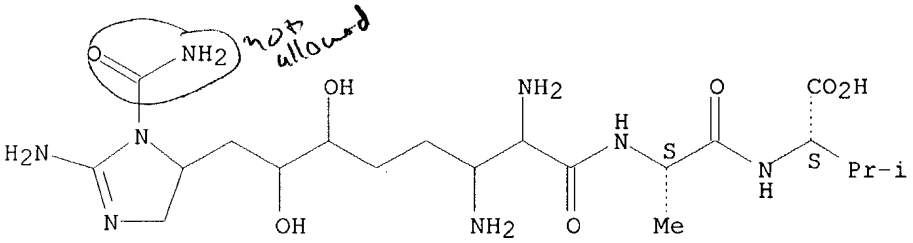
Double bond geometry as shown.



L32 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:578994 HCAPLUS
DOCUMENT NUMBER: 127:259852
TITLE: NA22598A1, a novel antitumor substance produced by Streptomyces sp. NA22598
AUTHOR(S): Anon.
CORPORATE SOURCE: Japan
SOURCE: Journal of Antibiotics (1997), 50(8), 712-713
CODEN: JANTAJ; ISSN: 0021-8820

PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The prodn., isolation, physico-chem. properties, and biol. activity of the antitumor peptide NA22598A1 (I) of the title Streptomyces strain are reported. I is a peptide contg. 8-(2-iminoimizolin-4-yl)-2,3-diamino-6,7-dihydroxyoctanoic acid, alanine, and valine. I inhibited the anchorage-independent growth of a human colon cancer cell line (DLD-1) on poly 2-hydroxyethylmethacrylate-coated plates but did not inhibit growth on uncoated plates. I was inactive at 200 .mu.g/mL against gram-pos. and -neg. bacteria, yeast, and fungi.
IT **188674-15-9P**, NA22598A1
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NA22598A1, a novel antitumor substance produced by Streptomyces NA22598)
RN 188674-15-9 HCAPLUS
CN L-Valine, N-[2,3-diamino-8-[2-amino-1-(aminocarbonyl)-4,5-dihydro-1H-imidazol-5-yl]-2,3,4,5,8-pentadeoxyoctonoyl]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

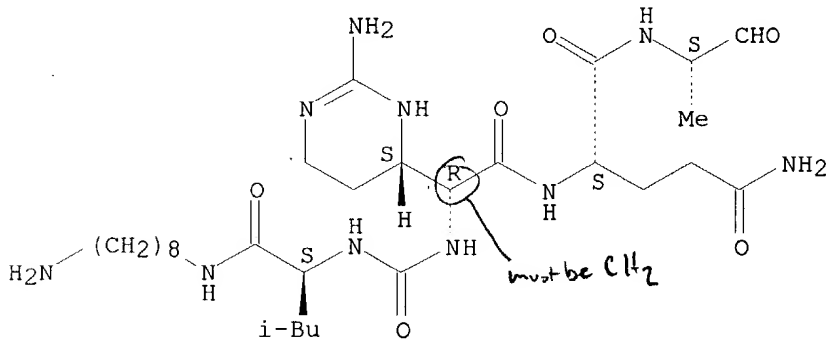
L32 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:298880 HCAPLUS
DOCUMENT NUMBER: 127:39601
TITLE: Modified mucoadhesive polymers for the peroral administration of mainly elastase degradable therapeutic (poly)peptides
AUTHOR(S): Bernkop-Schnuerch, Andreas; Schwarz, Gerit H.; Kratzel, Martin
CORPORATE SOURCE: Institute of Pharmaceutical Technology, University of Vienna, Althanstr. 14, A-1090, Vienna, Austria
SOURCE: Journal of Controlled Release (1997), 47(2), 113-121
CODEN: JCREEC; ISSN: 0168-3659
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A no. of elastatinal-polymer conjugates, having the inhibitor linked to sodium CM-cellulose (Na-CMC), poly(acrylic acid) (PAA) and poly(acrylic acid-divinyl glycol) via a 1,8-diaminooctane spacer, were synthesized and their protective effect from enzymic degrdn. caused by elastase as well as

their mucoadhesive properties were evaluated. Unmodified polymers did not show any inhibitory effect under our enzyme assay conditions. However, 50 .mu.g of modified Na-CMC, PAA and poly(acrylic acid-divinyl glycol) inhibited the proteolytic activity of elastase (6 .mu.g/290 .mu.l 50 mM Tris-HCl, pH 7.8) at 20.+-.0.5.degree.C up to 77%, 41% and 44.5%, resp. Whereas 1 mg of elastatinal-Na-CMC conjugates, resulting from reaction mixts. with a wt. ratio of inhibitor to polymer of 1:10, 1:5 and 1:1, exhibited a protective effect, which was equiv. to 2.8.+-.0.8 up to 9.2.+-.1.2 .mu.g of unbound inhibitor, corresponding conjugates of elastatinal with PAA and poly(acrylic acid-divinyl glycol) were in the range between 0.8.+-.0.4-3.2.+-.0.4 and 1.6.+-.0.4-4.2.+-.0.8 .mu.g (n = 3; .+-.S.D.), resp. Moreover, the mucoadhesive force of the polymers was not influenced by the slight modification. According to these results, the novel mucoadhesive polymers shielding from luminal enzymic attack may be a useful tool for the peroral administration of mainly elastase degradable therapeutic (poly)peptides.

IT **190733-09-6DP**, reaction products with polymers
190733-09-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(modified mucoadhesive polymers for the peroral administration of mainly elastase degradable therapeutic (poly)peptides)

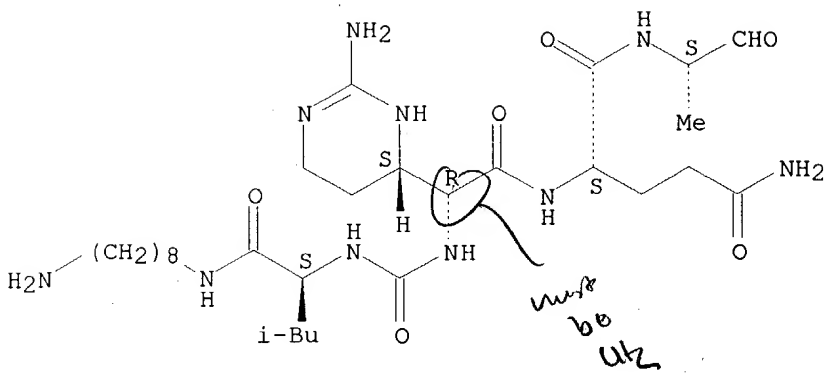
RN 190733-09-6 HCAPLUS
CN L-Glutamamide, (2R)-N-[[[(1S)-1-[[(8-aminooctyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]-2-[(4S)-hexahydro-2-imino-4-pyrimidinyl]glycyl-N1-[(1S)-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 190733-09-6 HCAPLUS
CN L-Glutamamide, (2R)-N-[[[(1S)-1-[[(8-aminooctyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]-2-[(4S)-hexahydro-2-imino-4-pyrimidinyl]glycyl-N1-[(1S)-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:276063 HCAPLUS
DOCUMENT NUMBER: 126:250255
TITLE: Antitumor agents manufacture with Streptomyces
INVENTOR(S): Nishigori, Takaaki; Kuwabara, Atsushi; Uehara, Yukimasa; Fukazawa, Shusuke; Mizuno, Satoshi
PATENT ASSIGNEE(S): Nippon Kayaku Kk, Japan; Kokuritsu Yobo Eisei Kenkyusho
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09048791	A2	19970218	JP 1995-199945	19950804

PRIORITY APPLN. INFO.: JP 1995-199945 19950804

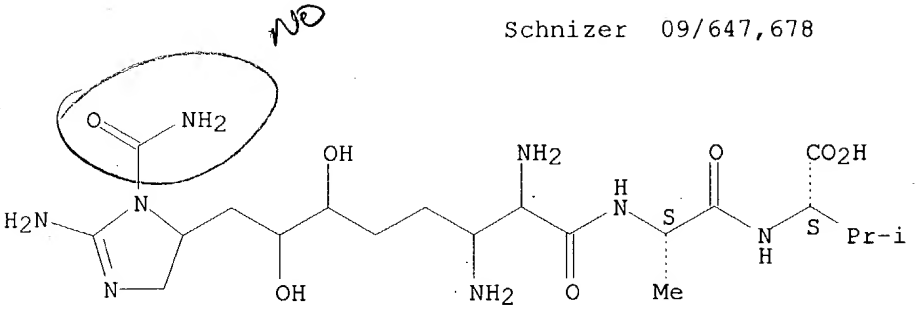
AB Antitumor agents NA22598A1-A5 are manufd. by culturing Streptomyces sp. NA22598A1-A5. Shake-culture of Streptomyces sp. NA22598 in a medium of galactose, dextrin, Bactosoytone, etc., and recovery of the antitumor agents from the culture filtrate were shown. Inhibition of human ovary cancer with the antitumor NA22598A1-A5 was also shown. The physiol. and morphol. characteristics of Streptomyces sp. NA22598 and physicochem. characteristics of NA22598A1-A5 were also given.

IT **188674-15-9P**, NA 22598A1
RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agents manuf. with Streptomyces)

RN 188674-15-9 HCAPLUS

CN L-Valine, N-[2,3-diamino-8-[2-amino-1-(aminocarbonyl)-4,5-dihydro-1H-imidazol-5-yl]-2,3,4,5,8-pentadeoxyoctonoyl]-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

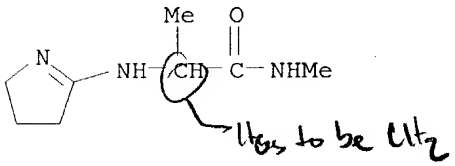


L32 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:499174 HCAPLUS
DOCUMENT NUMBER: 125:276458
TITLE: Synthesis of 2-(.omega.-aminoalkyl)imidazolin-4-ones and other compounds by reaction of lactam acetals and lactim ethers with .alpha.-aminoamides
AUTHOR(S): Rottmann, Antje; Liebscher, Juergen
CORPORATE SOURCE: Inst. Chem., Humboldt-Univ. Berlin, Berlin, D-10115, Germany
SOURCE: Journal of Heterocyclic Chemistry (1996), 33(3), 811-813
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English

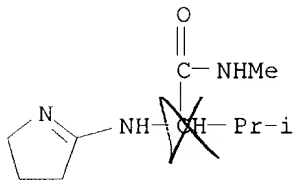
AB Reaction of N-methylamides (I; R1 = Me, Me2CH) with lactam acetals (II; n = 1-3) or lactim ethers (III; n = 1-3) gives . N-methyl-.alpha.-lactamiminoamides (IV) by condensation and 2-(.omega.-aminoalkyl)imidazolin-5-ones (V) or 2-(.omega.-lactamiminoalkyl)imidazolin-4-ones (VI) by ring chain transformation. All products represent novel optically active derivs. of biogenic .alpha.-amino acids.

IT **182164-83-6P 182164-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 2-(.omega.-aminoalkyl)imidazolin-4-ones and other compds. by reaction of lactam acetals and lactim ethers with .alpha.-amino acid amides)

RN 182164-83-6 HCAPLUS
CN Propanamide, 2-[(3,4-dihydro-2H-pyrrol-5-yl)amino]-N-methyl-, (S)- (9CI) (CA INDEX NAME)



RN 182164-84-7 HCAPLUS
CN Butanamide, 2-[(3,4-dihydro-2H-pyrrol-5-yl)amino]-N,3-dimethyl-, (S)- (9CI) (CA INDEX NAME)



L32 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:471838 HCAPLUS
DOCUMENT NUMBER: 122:222823
TITLE: preparation of elastase inhibitors from Streptomyces for therapeutic use
INVENTOR(S): Takeuchi, Tomio; Aoyanagi, Takaaki; Hamada, Masa; Ojiri, Katsuhisa; Ihara, Masaki; Morishima, Hajime
PATENT ASSIGNEE(S): Banyu Pharma Co Ltd, Japan; Microbial Chemistry Research Foundation
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06345796	A2	19941220	JP 1993-166131	19930611

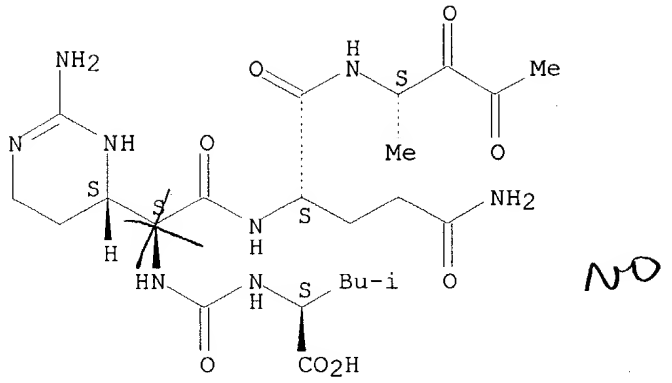
PRIORITY APPLN. INFO.: JP 1993-166131 19930611

AB Novel elastase inhibitors (I) [R = Q1 (elastatinal B) or Q2 (elastatinal C)] are manufd. by cultivation of Streptomyces in a medium. Elastatinal B or elastatinal C may be used in treating acute arteritis, lung edema, arteriosclerosis and/or other inflammation.

IT **162232-36-2P**, Elastatinal B **162232-37-3P**, Elastatinal C
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of elastase inhibitors (elastatinal B and C) from Streptomyces for therapeutic use)

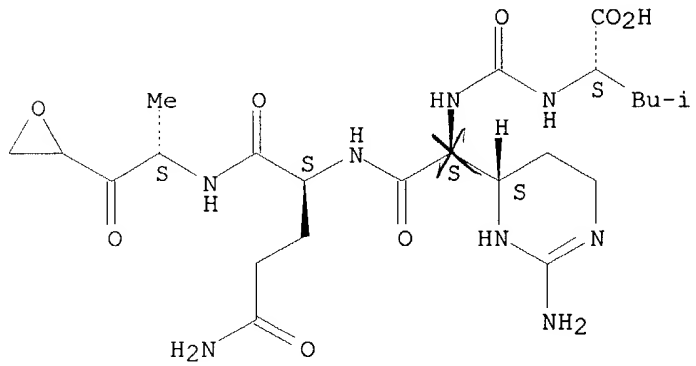
RN 162232-36-2 HCAPLUS
CN L-Glutamamide, (2S)-2-[(4S)-2-amino-1,4,5,6-tetrahydro-4-pyrimidinyl]-N-[[[(1S)-1-carboxy-3-methylbutyl]amino]carbonyl]glycyl-N1-[(1S)-1-methyl-2,3-dioxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 162232-37-3 HCAPLUS
CN L-Glutamamide, (2S)-2-[(4S)-2-amino-1,4,5,6-tetrahydro-4-pyrimidinyl]-N-[[[(1S)-1-carboxy-3-methylbutyl]amino]carbonyl]glycyl-N1-[(1S)-1-methyl-2-oxiranyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.



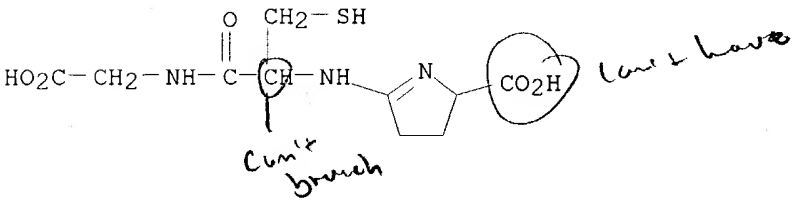
L32 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:123510 HCAPLUS
DOCUMENT NUMBER: 116:123510
TITLE: Unresolved rearrangement of thiazoline form of glutathione
AUTHOR(S): Fujii, Katsuhiko
CORPORATE SOURCE: Div. Biochem., Teijin Inst. Biomed. Res., Tokyo, 191, Japan
SOURCE: European Journal of Biochemistry (1992), 203(1-2), 75-80
CODEN: EJBCAI; ISSN: 0014-2956
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The thiazoline form of glutathione was investigated with regard to its unresolved stability under neutral conditions. A simple method was developed for prodn. of the thiazoline in stable solid form, thereby facilitating prepn. of its neutral soln. without using excess base and

enabling isolation of the reaction product in quantity by ion-exchange chromatog. Anal. of the product by HPLC, IR and UV absorption spectroscopy, mass spectrometry and proton magnetic resonance led to the identification of a cyclic amide form of glutathione. The instability of the thiazoline is, therefore, due to an intramol. rearrangement reaction, rather than hydrolysis. Once formed, the amide is stable at pH 5-7 and in concd. HCl, showing no tendency to rearrange back to either the original thiazoline or glutathione under these conditions.

IT **129950-95-4P**
RL: PREP (Preparation)
(formation from glutathione-thiazoline and stability of)

RN 129950-95-4 HCAPLUS

CN Glycine, N-[N-(2-carboxy-3,4-dihydro-2H-pyrrol-5-yl)-L-cysteinyl]-, (S)-(9CI) (CA INDEX NAME)



L32 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:572762 HCAPLUS
DOCUMENT NUMBER: 113:172762
TITLE: Preparation of cyclic amidine derivatives of glutathione and analogs as drugs
INVENTOR(S): Fujii, Katsuhiko
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02121965	A2	19900509	JP 1988-272904	19881031
JP 07045465	B4	19950517		

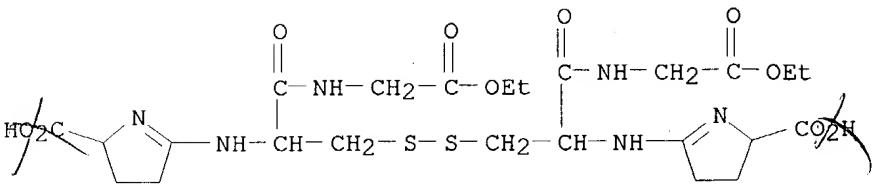
PRIORITY APPLN. INFO.: JP 1988-272904 19881031
OTHER SOURCE(S): MARPAT 113:172762

AB The title compds. I [X = CO2H, R1, CO2R1; R1 = (substituted) hydrocarbyl; Y = OH, OR1, A, etc.; A = amino acid residue; Z = H, R1, COR1, etc.] were prepd. Treatment of glutathione with HCl, followed by treatment of the resulting salt with NaHCO3, gave pyrrolidine II.

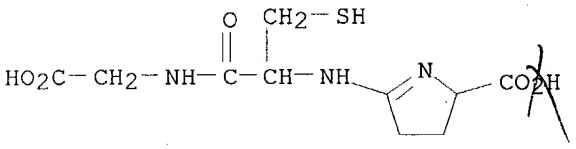
IT **129950-99-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 129950-99-8 HCAPLUS

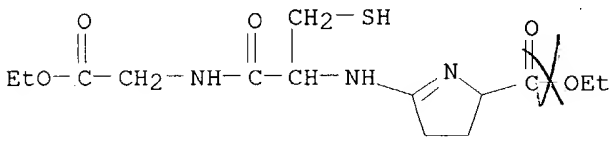
CN Glycine, N-[(2S)-2-carboxy-3,4-dihydro-2H-pyrrol-5-yl]-L-cysteinyl-, 2-ethyl ester, bimol. (1.fwdarw.1')-disulfide (9CI) (CA INDEX NAME)



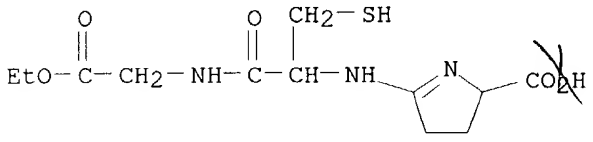
IT **129950-95-4P 129950-96-5P 129950-98-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 129950-95-4 HCAPLUS
CN Glycine, N-[N-(2-carboxy-3,4-dihydro-2H-pyrrol-5-yl)-L-cysteinyl]-, (S)- (9CI) (CA INDEX NAME)



RN 129950-96-5 HCAPLUS
CN Glycine, N-[N-[2-(ethoxycarbonyl)-3,4-dihydro-2H-pyrrol-5-yl]-L-cysteinyl]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)



RN 129950-98-7 HCAPLUS
CN Glycine, N-[N-(2-carboxy-3,4-dihydro-2H-pyrrol-5-yl)-L-cysteinyl]-, 1-ethyl ester, (S)- (9CI) (CA INDEX NAME)



L32 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:118534 HCAPLUS
DOCUMENT NUMBER: 112:118534
TITLE: Preparation of 1-sulfo-2-oxoazetidines as antibacterial agents
INVENTOR(S): Ochiai, Michihiko; Kishimoto, Shoji; Matsuo, Taisuke
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: U.S., 252 pp. Cont.-in-part of U.S. Ser. No. 326,938.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4782147	A	19881101	US 1983-499802	19830531
WO 8201873	A1	19820610	WO 1980-JP297	19801205
W: MC				
WO 8203859	A1	19821111	WO 1981-JP103	19810430
W: MC				
WO 8300689	A1	19830303	WO 1981-JP183	19810821
W: MC				
WO 8301063	A1	19830331	WO 1981-JP252	19810924
W: MC				
US 4822788	A	19890418	US 1981-326938	19811203
JP 58210061	A2	19831207	JP 1982-93463	19820531
JP 04066865	B4	19921026		
US 4572801	A	19860225	US 1983-499801	19830531
GB 2156350	A1	19851009	GB 1985-9070	19850409
GB 2156350	B2	19860604		
NO 8700981	A	19831031	NO 1987-981	19870310
FI 8801563	A	19880405	FI 1988-1563	19880405

PRIORITY APPLN. INFO.:

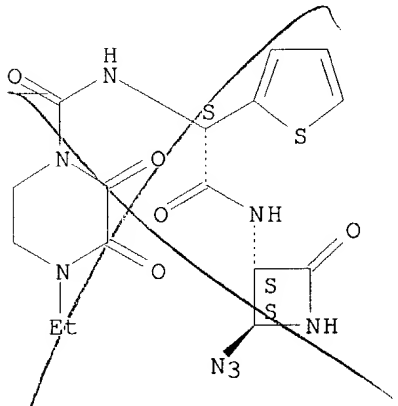
WO 1980-JP297	19801205
WO 1981-JP103	19810430
WO 1981-JP183	19810821
WO 1981-JP252	19810924
US 1981-326938	19811203
JP 1982-93463	19820531
WO 1981-WO103	19810430
WO 1981-WO183	19810821
WO 1981-WO252	19810924
JP 1982-73728	19820430
US 1982-405592	19820805
GB 1983-10520	19830419
FI 1983-1457	19830428
NO 1983-1514	19830429

OTHER SOURCE(S): MARPAT 112:118534

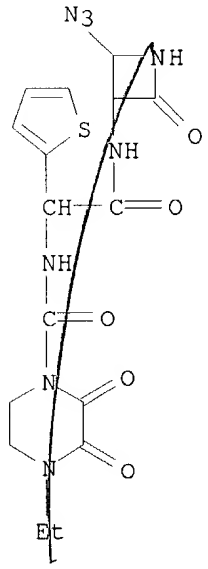
AB The title compds. [I; R = H, N3, halo, NH2, acylamino, OR5, SOnR5, P(O)(OR5)2, SSR5, C-attached org. residue; R1 = (protected) NH2, acylamino; R5 = org. residue; X = H, MeO; n = 0-2] and their salts were prepd. 2-Oxoazetidine II [R1 = PhCH2O2CNH, R2 = OMe, R3 = 2,4-(MeO)2C6H3CH2] (prepn. from corresponding 3-amino deriv. given] was stirred 3 h at 90-95.degree. with K2S2O8 in aq. MeCN contg. K2HPO4 to give II (R1 and R2 as above, R3 = H) which was stirred 19 h in THF contg. aq. NH3 to give II (R1 as above, R2 = NH2, R3 = H). The latter was hydrogenolyzed over Pd/C and the product stirred with 4-O2NC6H4CH2O2CCMe2ON:CQCOCl [Q = 2-(2-chloroacetamido)-4-thiazolyl] (prepn. given) to give II (R1 = 4-O2NC6H4CH2O2CCMe2ON:CQCONH, R2 = NH2, R3 = H) which was treated overnight at 4.degree. with SO3.DMF in DMF to give, after ion-exchange chromatog., II (R1, R2 unchanged, R3 = SO3Na). Deprotection of the latter in 2 steps gave title compd. III, which had min. inhibitory concn. of 1.56 and 0.39 .mu.g/mL against Enterobacter cloacae IFO 129537 and Klebsiella pneumoniae TN 1711, resp.

IT **122675-69-8P 122675-70-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antibacterial agents)
RN 122675-69-8 HCAPLUS
CN 1-Piperazinecarboxamide, N-[2-[(2-azido-4-oxo-3-azetidinyl)amino]-2-oxo-1-(2-thienyl)ethyl]-4-ethyl-2,3-dioxo-, [2S-[2.alpha.,3.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 122675-70-1 HCAPLUS
CN 1-Piperazinecarboxamide, N-[2-[(2-azido-4-oxo-3-azetidinyl)amino]-2-oxo-1-(2-thienyl)ethyl]-4-ethyl-2,3-dioxo- (9CI) (CA INDEX NAME)



L32 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:400176 HCAPLUS
DOCUMENT NUMBER: 111:176
TITLE: Antiamnesic effects of D-pipecolic acid and analogs of Pro-Leu-Gly-NH2 in rats

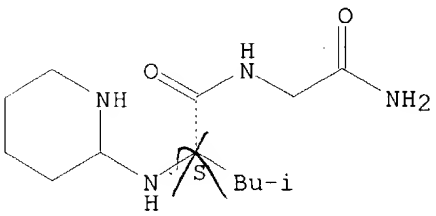
AUTHOR(S): Kovacs, Gabor L.; Szabo, Gyula; Telegdy, Gyula; Balaspiri, Lajos; Palos, Eva; Szpornyi, Laszlo
CORPORATE SOURCE: Inst. Pathophysiol., Univ. Med. Sch., Szeged, Hung.
SOURCE: Pharmacology, Biochemistry and Behavior (1988), 31(4), 833-7
CODEN: PBBHAU; ISSN: 0091-3057
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The antiamnesic effects of prolyl-leucyl-glycinamide (PLG) and analogs of this tripeptide were investigated in rats. Retrograde amnesia was induced by electroconvulsive shock treatment and the degree of amnesia was characterized by the attenuation of 1-trial learning passive avoidance response. PLG resulted in dose-dependent attenuation of retrograde amnesia. Structural modifications included N-terminal protection, substitution of the C-terminal NH2 group, replacement of the N-terminal amino acid, and replacement of the second amino acid of the tripeptide. D-Pipecolic acid, D-pipecolamide and their N-terminally protected analogs were found to have powerful antiamnesic effects.

IT **120976-43-4**
RL: BIOL (Biological study)
(amnesia inhibition by, structure in relation to)

RN 120976-43-4 HCAPLUS
CN Glycinamide, N-2-piperidinyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

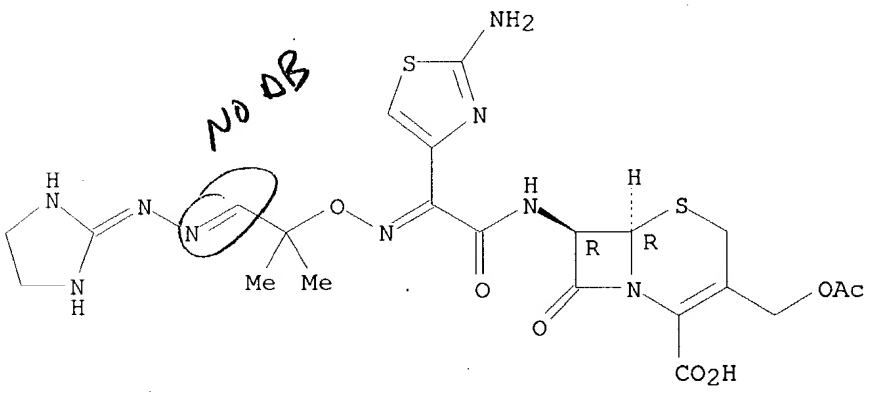


L32 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:492650 HCAPLUS
DOCUMENT NUMBER: 109:92650
TITLE: Preparation and formation of (lethoxyimino)acetamidocephem and -carbacephem antibiotics with strong activity against gram-positive and -negative bacteria
INVENTOR(S): Mochida, Kenichi; Ogasa, Takehiro; Shimada, Junichi; Sato, Kiyoshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62267287	A2	19871119	JP 1986-112077	19860516

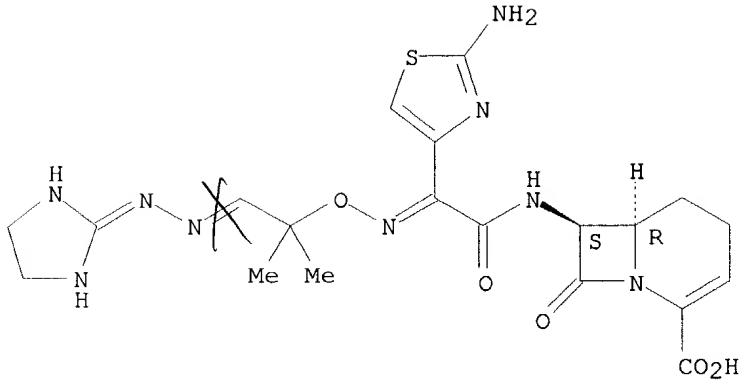
PRIORITY APPLN. INFO.: JP 1986-112077 19860516
OTHER SOURCE(S): CASREACT 109:92650
AB The title compds. I [X = S, CH2; R1, R2 = H, lower alkyl, or CR1R2 = cycloalkylidene; R3 = OH, lower alkoxy, (substituted) amino, thioureido, ureido, guanidino; R4 = H, lower alkyl; R5 = H, acetoxymethyl, carbamoylmethyl, (substituted) heterocyclylthio, -methylthio, and -thiomethyl; R6 = H, alkali metal, alk. earth metal, org. ammonium, ester residue; CO2R6 is CO2- when R5 is quaternary ammonium], useful as antibiotics, were prepd. Acylation of (6R,7S)-7-amino-1-azabicyclo[4.2.0]oct-2-en-8-oxo-2-carboxylic acid with 2-(2-tritylaminothiazol-4-yl)-2-(Z)-(1-formyl-1-methyl)ethoxyiminoacetyl chloride, followed by deprotection and reaction with NH2OH.cntdot.HCl gave (6R,7S)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-(1-hydroxyiminomethyl-1-methyl)ethoxyiminoacetamido]-1-azabicyclo[4.2.0]oct-2-en-8-oxo-2-carboxylic acid (II). II in vitro exhibited a MIC of 0.2 .mu.g/mL against Escherichia coli NIHJ JC-2. An injectable powder contg. I 1000 and D-mannitol 150 g was prepd.
IT **115444-00-3P 115444-04-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antibiotic)
RN 115444-00-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[(2-amino-4-thiazolyl)[[2-[(4,5-dihydro-1H-imidazol-2-yl)hydrazono]-1,1-dimethylethoxy]imino]acetyl]amino]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 115444-04-7 HCAPLUS
CN 1-Azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[2-[(4,5-dihydro-1H-imidazol-2-yl)hydrazono]-1,1-dimethylethoxy]imino]acetyl]amino]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

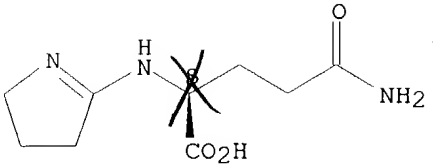


L32 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:142849 HCAPLUS
DOCUMENT NUMBER: 108:142849
TITLE: Synthesis and biological action of amidinomercaptoic acids and related compounds
AUTHOR(S): Granik, V. G.; Shvarts, G. Ya.; Grizik, S. I.; Tugusheva, N. Z.; Faermark, I. F.; Kugaevskaya, E. V.; Eliseeva, Yu. E.; Pavlikhina, L. V.; Orekhovich, V. N.; Mashkovskii, M. D.
CORPORATE SOURCE: VNIKhFI, Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1987), 21(12), 1428-33
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB A series of captopril analogs (e.g., I) were prepd. by reaction of amino acids (cysteine, penicillamine, etc.) with lactim esters and lactam acetals to evaluate the structure-activity relationships with respect to the presence of SH-, COOH-, and other groups in the mol. The derivs. were tested in vitro for inhibition of the angiotensin-converting enzyme (dipeptidylcarboxypeptidase) and activation of bradykinin, and in vivo for toxicity in mice and antihypertensive effects in rats. Most derivs. showed some degree of the activities of interest. The presence of SH-, COOH-, and amidine groups is essential for activity. Concurrent administration of the decarboxylation inhibitor isoniazid decreased inactivation of the compds. and prolonged their antihypertensive effects.

IT **113561-29-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antihypertensive activity of, angiotensin-converting enzyme inhibition and structure in relation to)
RN 113561-29-8 HCAPLUS
CN L-Glutamine, N2-(3,4-dihydro-2H-pyrrol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



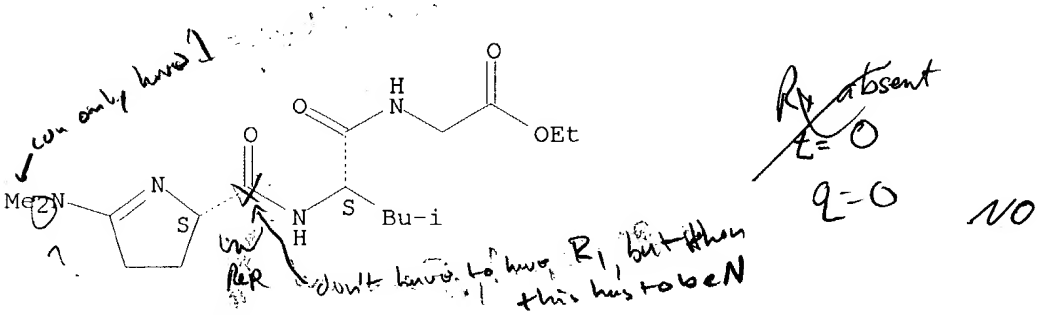
L32 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:85036 HCAPLUS
DOCUMENT NUMBER: 106:85036
TITLE: Studies on amino acids and peptides, 11. Synthesis of four MIF analogs containing an N-terminal (S)-5-thioxoprolyl residue
AUTHOR(S): Andersen, Torben P.; Senning, Alexander
CORPORATE SOURCE: Dep. Org. Chem., Univ. Aarhus, Aarhus, DK-8000, Den.
SOURCE: Liebigs Annalen der Chemie (1987), (1), 59-64
CODEN: LACHDL; ISSN: 0170-2041
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:85036

AB MIF analogs Top-Leu-Gly-NRR1 (I; Top = 5-thioxoproline; R = H, R1 = Et, Pr, CHMe2; R = R1 = Me) were prepd. by coupling Top-OH with H-Leu-Gly-NRR1.HCl (II) by the mixed anhydride method using Me2CHCH2O2CCl (IBCF). In the synthesis of I (R = H, R1 = Pr, CHMe2), the corresponding Me2CHCH2O2C-Top-Leu-Gly-NRR1 (III) were isolated as side products. The amt. of III was decreased by decreasing the amt. of IBCF and decreasing the activation time. II were prepd. by amidating Boc-Leu-Gly-OEt (Boc = Me3CO2C) with HNRR1 and Boc-deblocking the resulting Boc-Leu-Gly-NRR1 by HCl/dioxane.

IT **105141-62-6P 105141-63-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

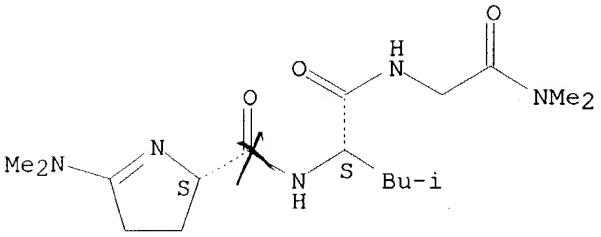
RN 105141-62-6 HCAPLUS
CN Glycine, N-[N-[1,5-didehydro-5-(dimethylamino)-L-prolyl]-L-leucyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 105141-63-7 HCAPLUS
CN Glycinamide, 1,5-didehydro-5-(dimethylamino)-L-prolyl-L-leucyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



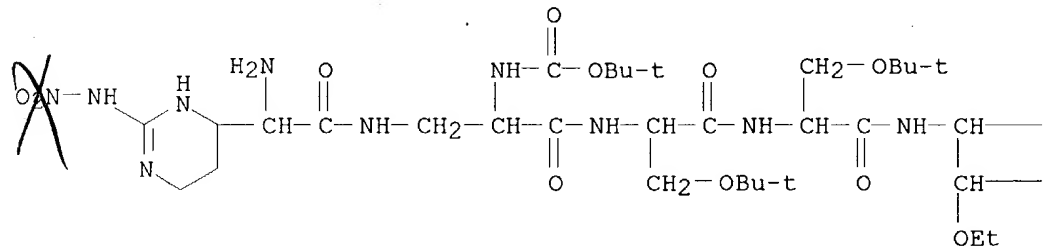
L32 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:136963 HCAPLUS
DOCUMENT NUMBER: 88:136963
TITLE: Chemical studies on tuberactinomycin. XV. Total synthesis of tuberactinomycin O
AUTHOR(S): Teshima, Tadashi; Nomoto, Shinya; Wakamiya, Tateaki; Shiba, Tetsuo
CORPORATE SOURCE: Fac. Sci., Osaka Univ., Toyonaka, Japan
SOURCE: Journal of Antibiotics (1977), 30(12), 1073-9
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Tuberactinomycin O (I) was prepd. by coupling BOC-.beta.-Lys(BOC)-OSu (BOC = Me3CO2C, Su = succinimido) to tuberactinamine N (II) and BOC-deblocking the resulting III. Dipeptide IV [Nps = o-(O2N)C6H4S, Cpd = capreomycidine residue, A2pr = HNCH(CH2NH2)CO] was coupled to H-Ser(CMe3)-Ser(CMe3)-Dea-OEt [Dea = HNCH[CH(OEt)2]CO] to give the pentapeptide which was sapond. and esterified with HOSu to give pentapeptide active ester V. V was Nps-deblocked with HCl and cyclized with pyridine to give cyclic peptide VI which was deblocked by hydrogenation and CF3CO2H and then the .beta.,.beta.-diethoxyalanine residue was treated with urea to give II.

IT **65918-85-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 65918-85-6 HCAPLUS
CN 2,5-Pyrrolidinedione, 1-[[N-[N-[N-[L-2-[[(1,1-dimethylethoxy)carbonyl]amino]-N-[L-2-[1,4,5,6-tetrahydro-2-(nitroamino)-4-pyrimidinyl]glycyl]-.beta.-alanyl]-O-(1,1-dimethylethyl)-L-seryl]-O-(1,1-dimethylethyl)-L-seryl]-3-ethoxy-O-ethylseryl]oxy]-, (R)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B